

PATENT COOPERATION TREATY

PCT

INTERNATIONAL SEARCH REPORT

(PCT Article 18 and Rules 43 and 44)

Applicant's or agent's file reference X-16090	FOR FURTHER ACTION <small>see Form PCT/ISA/220 as well as, where applicable, item 5 below.</small>	
International application No. PCT/US2005/007702	International filing date (day/month/year) 09/03/2005	(Earliest) Priority Date (day/month/year) 15/03/2004
Applicant ELI LILLY AND COMPANY		

This International Search Report has been prepared by this International Searching Authority and is transmitted to the applicant according to Article 18. A copy is being transmitted to the International Bureau.

This International Search Report consists of a total of 6 sheets.

It is also accompanied by a copy of each prior art document cited in this report.

1. Basis of the report

a. With regard to the **language**, the international search was carried out on the basis of the international application in the language in which it was filed, unless otherwise indicated under this item.

The international search was carried out on the basis of a translation of the international application furnished to this Authority (Rule 23.1(b)).

b. With regard to any **nucleotide and/or amino acid sequence** disclosed in the international application, see Box No. I.

2. **Certain claims were found unsearchable** (See Box II).

3. **Unity of invention is lacking** (see Box III).

4. With regard to the **title**,

the text is approved as submitted by the applicant.

the text has been established by this Authority to read as follows:

4-(5-(AMINOMETHYL)-INDOLE-1-YLMETHYL)-BENZAMIDE DERIVATIVES AND RELATED COMPOUNDS AS OPIOID RECEPTOR ANTAGONISTS FOR THE TREATMENT OF OBESITY

5. With regard to the **abstract**,

the text is approved as submitted by the applicant.

the text has been established, according to Rule 38.2(b), by this Authority as it appears in Box No. IV. The applicant may, within one month from the date of mailing of this international search report, submit comments to this Authority.

6. With regard to the **drawings**,

a. the figure of the **drawings** to be published with the abstract is Figure No. _____

as suggested by the applicant.

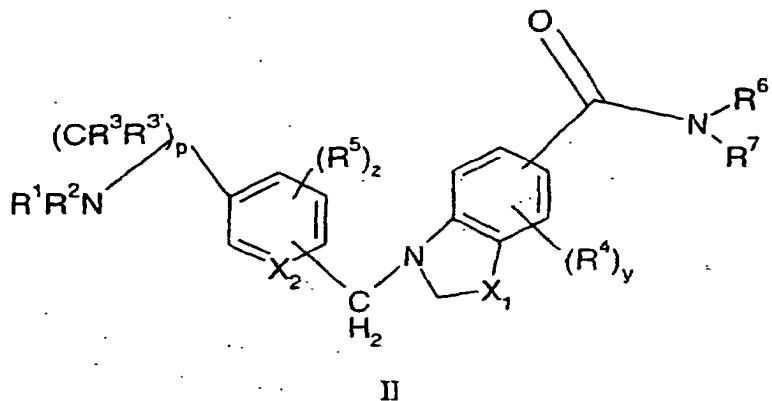
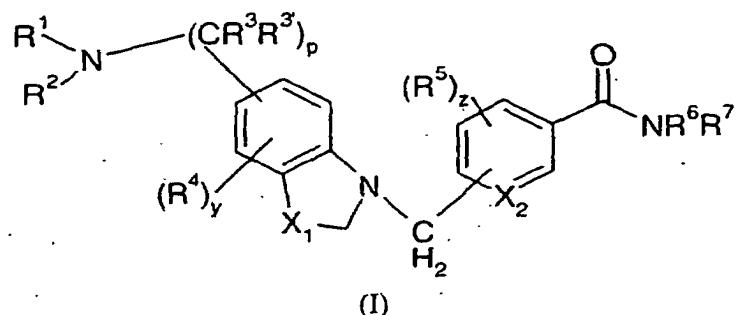
as selected by this Authority, because the applicant failed to suggest a figure.

as selected by this Authority, because this figure better characterizes the invention.

b. none of the figures is to be published with the abstract.

Box No. IV Text of the abstract (Continuation of item 5 of the first sheet)

The present invention relates to compounds of formulae (I) and (II) useful as opioid receptor antagonists for the treatment of obesity:



p is 0, 1, or 2;

y is 0, 1, or 2; and z is 0, 1, or 2;

X₁ is CH₂, CH, or N; to form a indolyl, indolyl, or benzimidazole ring respectively and including applicable double bonds and/or hydrogen atoms;

X₂ is CH or N;

R1 to R7, R3', p, y and z are as defined in the claims.

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International Application No
PCT/US2005/007702

A. CLASSIFICATION OF SUBJECT MATTER

IPC 7	C07D209/08	C07D409/12	C07D405/12	A61K31/4045	A61P3/04
	A61P3/10	A61P25/24	A61P25/28	A61P25/30	

According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)

IPC 7 C07D A61K A61P

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)

EPO-Internal, WPI Data, BEILSTEIN Data, CHEM ABS Data

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category °	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
A	✓ WO 03/101963 A (ELI LILLY) 11 December 2003 (2003-12-11) the whole document -----	1-23
A	✓ WO 02/051805 A (BAYER) 4 July 2002 (2002-07-04) the whole document -----	1-23
X	✓ YEE ET AL: "A novel series of selective leukotriene antagonists: exploration and optimization of the acidic region in 1,6-disubstituted indoles and indazoles" JOURNAL OF MEDICINAL CHEMISTRY, vol. 33, no. 9, 1990, pages 2437-2451, XP002332451 compounds 57, 66-68 ----- -/-	1,3-8, 15,16,18

Further documents are listed in the continuation of box C.

Patent family members are listed in annex

° Special categories of cited documents :

- "A" document defining the general state of the art which is not considered to be of particular relevance
- "E" earlier document but published on or after the international filing date
- "L" document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)
- "O" document referring to an oral disclosure, use, exhibition or other means
- "P" document published prior to the international filing date but later than the priority date claimed

- "T" later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention
- "X" document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone
- "Y" document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art.
- "&" document member of the same patent family

Date of the actual completion of the international search

20 June 2005

Date of mailing of the international search report

04/07/2005

Name and mailing address of the ISA

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INTERNATIONAL SEARCH REPORT

International Application No
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C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

Category	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	<p> DATABASE CA 'Online!' f CHEMICAL ABSTRACTS SERVICE, COLUMBUS, OHIO, US; 1996, RAFALSKI, M. ET AL: "Synthesis and biological evaluation of substituted benzimidazoles - potential GPIIb/IIIa receptor antagonists" XP002332455 retrieved from STN Database accession no. 1996:696065 abstract & PEPTIDES: CHEMISTRY, STRUCTURE AND BIOLOGY, PROCEEDINGS OF THE AMERICAN PEPTIDE SYMPOSIUM, 14TH, COLUMBUS, OHIO, JUNE 18-23, 1995, MEETING DATE 1995, 707-708. EDITOR(S): KAUMAYA, PRAVIN T. P.; HODGES, ROBERT S. PUBLISHER: MAYFLOWER SCIENTIFIC, KING, 1996, -----</p>	2,9-16, 18

INTERNATIONAL SEARCH REPORT

International application No.
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Box II Observations where certain claims were found unsearchable (Continuation of item 2 of first sheet)

This International Search Report has not been established in respect of certain claims under Article 17(2)(a) for the following reasons:

1. Claims Nos.: because they relate to subject matter not required to be searched by this Authority, namely:

Although claims 18-22 are directed to a method of treatment of the human/animal body, the search has been carried out and based on the alleged effects of the compound/composition.
2. Claims Nos.: because they relate to parts of the International Application that do not comply with the prescribed requirements to such an extent that no meaningful International Search can be carried out, specifically:
3. Claims Nos.: because they are dependent claims and are not drafted in accordance with the second and third sentences of Rule 6.4(a).

Box III Observations where unity of invention is lacking (Continuation of item 3 of first sheet)

This International Searching Authority found multiple inventions in this international application, as follows:

1. As all required additional search fees were timely paid by the applicant, this International Search Report covers all searchable claims.
2. As all searchable claims could be searched without effort justifying an additional fee, this Authority did not invite payment of any additional fee.
3. As only some of the required additional search fees were timely paid by the applicant, this International Search Report covers only those claims for which fees were paid, specifically claims Nos.:
4. No required additional search fees were timely paid by the applicant. Consequently, this International Search Report is restricted to the invention first mentioned in the claims; it is covered by claims Nos.:

Remark on Protest

The additional search fees were accompanied by the applicant's protest.

No protest accompanied the payment of additional search fees.

INTERNATIONAL SEARCH REPORT

Information on patent family members

International Application No

PCT/US2005/007702

Patent document cited in search report	Publication date	Patent family member(s)		Publication date
WO 03101963	A 11-12-2003	AU	2003239389 A1	19-12-2003
		EP	1513813 A1	16-03-2005
		WO	03101963 A1	11-12-2003
WO 02051805	A 04-07-2002	DE	10130830 A1	25-07-2002
		CA	2433100 A1	04-07-2002
		WO	02051805 A1	04-07-2002
		EP	1347959 A1	01-10-2003
		JP	2004517851 T	17-06-2004
		US	2003078288 A1	24-04-2003
		US	2005032874 A1	10-02-2005